TRANSLATION

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference	FOR FURTHER ACTION	See Form PCT/IPEA/416
0000055025		
International application No.	International filing date *(day/month/year)*	Priority date *(day/month/year)*
PCT/EP2004/012119	27.10.2004	29.10.2003

International Patent Classification (IPC) or national classification and IPC

A01N43/90

Applicant

BASF AKTIENGESELLSCHAFT

1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 9 sheets, including this cover sheet.

3. This report is also accompanied by ANNEXES, comprising:

   a. ☐ *(sent to the applicant and to the International Bureau)* a total of _____ sheets, as follows:

      ☐ sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).

      ☐ sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.

   b. ☐ *(sent to the International Bureau only)* a total of (indicate type and number of electronic carrier(s))

      _____, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).

4. This report contains indications relating to the following items:

☒	Box No. I	Basis of the report
☐	Box No. II	Priority
☐	Box No. III	Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
☐	Box No. IV	Lack of unity of invention
☒	Box No. V	Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
☐	Box No. VI	Certain documents cited
☐	Box No. VII	Certain defects in the international application
☐	Box No. VIII	Certain observations on the international application

Date of submission of the demand	Date of completion of this report
Name and mailing address of the IPEA/EP	Authorized officer
Facsimile No.	Telephone No.

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.
PCT/EP2004/012119

Box No. I Basis of the report

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ This report is based on translations from the original language into the following language _____, which is the language of a translation furnished for the purposes of:

☐ international search (Rule 12.3 and 23.1(b))

☐ publication of the international application (Rule 12.4)

☐ international preliminary examination (Rule 55.2 and/or 55.3)

2. With regard to the **elements** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

☐ the international application as originally filed/furnished

☒ the description:

pages 1-11 as originally filed/furnished

pages* _____ received by this Authority on _____

pages* _____ received by this Authority on _____

☒ the claims:

nos. 1-10 as originally filed/furnished

nos.* _____ as amended (together with any statement) under Article 19

nos.* _____ received by this Authority on _____

nos.* _____ received by this Authority on _____

☐ the drawings:

sheets _____ as originally filed/furnished

sheets* _____ received by this Authority on _____

sheets* _____ received by this Authority on _____

☐ a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.

3. ☐ The amendments have resulted in the cancellation of:

☐ the description, pages _____

☐ the claims, nos. _____

☐ the drawings, sheets/figs _____

☐ the sequence listing *(specify)*: _____

☐ any table(s) related to sequence listing *(specify)*: _____

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

☐ the description, pages _____

☐ the claims, nos. _____

☐ the drawings, sheets/figs _____

☐ the sequence listing *(specify)*: _____

☐ any table(s) related to sequence listing *(specify)*: _____

* *If item 4 applies, some or all of those sheets may be marked "superseded."*

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.
PCT/EP2004/012119

Box No. V **Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

1. Statement

Novelty (N)	Claims	1-10	YES
	Claims		NO
Inventive step (IS)	Claims		YES
	Claims	1-10	NO
Industrial applicability (IA)	Claims	1-10	YES
	Claims		NO

2. Citations and explanations (Rule 70.7)

This report makes reference to the following documents (D1-D7), which are cited in the international search report:

D1: EP-A-0 988 790
D2: WO 98/46607 A
D3: EP-A-0 236 272
D4: US-A-5 593 996
D5: US-B1-6 268 371
D6: KOCH E ET AL: "Phenylpyrroles: A new class of fungicides for seed treatment" BRIGHTON CROP PROTECTION CONFERENCE: PESTS AND DISEASES, VOLS. 1, 2 AND 3 1992, page 3) 1137-1146
D7: DE 195 47 627 A1

Novelty

The subject matter of claims 1 to 10 is novel (PCT Article 33(1) and (2)).

Independent claim 1 relates to fungicidal mixtures for controlling rice pathogens, said mixtures containing fenpiclonil, an active substance from the class of phenylpyrroles and a specific fungicidal

triazolopyrimidine (referred to as TP1 in following) in a synergistically active amount. The remaining independent claims, claims 4, 9 and 10, are directed to a method for controlling rice pathogens by means of such a mixture, seeds produced by means of such a method, and the use of the two compounds for producing agents for controlling rice pathogenic fungus.

None of the cited prior art documents discloses the specific mixtures that are subject matter of the present claim 1.

D1 (see the passages cited in the international search report) discloses synergistic mixtures of triazolopyrmidines of a general formula, which also includes TP1, with other fungicides, including fenpiclonil. The azolopyrimidines A, B and C (referred to as TPa, TPb and TPc in following), which are preferred and used in the examples, are the 6-(2-CI-6-F-phenyl), the 7-(2,2,2trifluorethylamino) and the 7-(1,1,1-trifluoropropyl-2-yl-amino) analogs of TP1. In the example (D1, example 25), TPc, the comparative substance of the present application, is used together with fenpiclonil.

D2 (see the passages cited in the international search report) discloses, *inter alia*, specifically the compound TP1 (example compound 2). The compound is compared with TPa in terms of its effectiveness against powdery mildew on grapes. The possibility of mixing this compound with other fungicides, under which fenpiclonil is also listed, according to the circumstances in order to achieve a

synergistic effect is mentioned, but not carried out.

D3 (see the passages cited in the international search report) states that fenpiclonil is particularly suitable for controlling Botrytis and for treating seeds.

D4 (see passages cited in the international search report) discloses certain fungicidal triazolopyrimidines, including TPa. The effect against *Pyricularia oryzae* on rice is demonstrated (see D4, examples 225 and 226).

D5 (see passages cited in the international search report) discloses synergistic mixtures of, *inter alia*, triazolopyrimidines known from D4 with melanin biosynthesis inhibitors such as carpropamid, pyroquilon and fenoxanil. These mixtures are particularly effective against rice pathogens (*Pyricularia oryzae*, *Rhizoctonia solani* and *Cochliobolus miyabeanus*, which cause brown spot disease). The preferred compounds, designated as azolopyrimidines A, C and D in D5, are the aforementioned TPa, TPb and TPc.

D6 (see the passages cited in the international search report) discloses fenpiclonil and CGA173506, which today is known under the name fludioxonil, as representatives of a new class of fungicides, the phenylpyrroles.

And D7 (see passages cited in the international search report) discloses synergistic mixtures of the fungicide carpropamid with, *inter alia*, the phenylpyrroles fenpiclonil and fludioxinil.

Inventive step

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

The subject matter of claims 1 to 10 does not involve an inventive step (PCT Article 33(1) and (3)).

In light of the description and the closest prior art according to D1, the problem addressed by the application can be regarded as that of providing synergistic mixtures of triazolopyrimidines with other fungicides that are suitable for controlling rice pathogens, i.e. which combine high systemic activity with high effectiveness against pathogens such as *Pyricularia oryzae*, *Rhizoctonia solani* and *Cochliobolus miyabeanus*.

The proposed solution is characterized by the use of the specific triazolopyrimidine TP1 in combination with the fenpiclonil.

In light of the aforementioned prior art, this combination is an obvious solution to the problem.

D1 already suggests mixtures of triazolopyrmidines of a general formula which includes TPa, TPb and TPc as well as TP1, with fenpiclonil. D1 specifically discloses the mixture with the triazolopyrimidine TPc. D1 does not explicitly mention the use for controlling rice pathogens.
However, the triazolopyrimidines of the general formula are known from D4 to be effective against rice pathogens; for example, the effectiveness of the TPa (compound 139 in D4) against *Pyricularia oryzae* is shown by way of example (see example 226).

D5 (see above) describes synergistic mixtures of such

triazolopyrimidines, including TPa and TPc, which is referred to as a comparative substance in the present application, with other fungicides. These mixtures are effective, in particular, against rice pathogens such as *Pyricularia oryzae*, *Rhizoctonia solani* and *Cochliobolus miyabeanus*.

Furthermore, it is also known, for example, from D3, D6 and D7, that fenpiclonil alone and in synergistic mixtures shows high effectiveness against such rice pathogens.

D3 states with regard to fenpiclonil: "Special mention should be made of the outstanding activity of this compound against the pathogen *Rhizoctonia solani* (sheath blight) in rice cultures." (see D3, page 2, the last 3 lines of the second paragraph). In an example (biological example 2), the effect against *Pyricularia oryzae* on rice is demonstrated.

D6 teaches that the phenylpyrroles fenpiclonil and fludioxinil are particularly well suited for the treatment of seeds. The high effectiveness of fludioxinil against *Pyricularia oryzae* and *Cochliobolus miyabeanus* on rice is demonstrated by way of example (see D6, the abstract and page 144, last paragraph).

And D7 emphasizes the good effect of mixtures of the fungicide carpropamid with phenylpyrrole fungicides, such as fenpiclonil and fludioxinil, against pathogens of the genera *Pyricularia*, *Cochliobolus* and *Rhizoctonia*. In an example, the effectiveness of the mixture with fenpiclonil against *Pyricularia oryzae* on rice is demonstrated.

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

A person skilled in the art could therefore expect that the mixture of TPc and fenpiclonil known from D1, the effectiveness of which is shown only against *Alternaria solani* on tomatoes in an example in D1 (example 25), is also well suited for controlling rice pathogens, i.e. is a solution to the aforementioned problem.

Moreover, D2 explicitly states that the 6-(2,4,6-trifluoropenyl)-triazolopyrimidines disclosed there (such as TP1) have higher systemic activity and fungitoxic effect against rice pathogens than the triazolopyrimidines known from D4 (such as TPa and TPc) (see D2, page 7, lines 9-11). The high effectiveness especially of the TP1 against *Pyricularia oryzae* (*Pyricularia grisea f. sp. oryzae*, teleomorph: *Magnaporthe gr. f. sp. oryzae*) and *Rhizoctonia solani* is shown in examples (see D2, table II).

It was therefore obvious to optimize the effectiveness of the mixture proposed in D1 of fenpiclonil and a triazolopyrimidine according to the formula (I) specified in that document, in particular, against rice pathogens by selecting, instead of the TPc used in example 25 for a test against *Alternaria solani* on tomatoes, the TP1 known from D2 and considered for this purpose.

The additional features provided in the dependent claims, such as the mass ratios and application rates, lie within the scope of routine practice, and therefore cannot make an inventive contribution.

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

Industrial applicability

The subject matter of claims 1 to 10 is considered to be industrially applicable (PCT Article 33(1) and (4)).